

Translation

PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 09571	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/JP2003/009317	International filing date (day/month/year) 23 July 2003 (23.07.2003)	Priority date (day/month/year) 23 July 2002 (23.07.2002)
International Patent Classification (IPC) or national classification and IPC C07D 213/22, 401/04, 405/04, 409/04, 413/04, 417/04		
Applicant KURARAY CO., LTD.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of <u>3</u> sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising: a. <input checked="" type="checkbox"/> (sent to the applicant and to the International Bureau) a total of <u>1</u> sheets, as follows: <div style="margin-left: 40px;"><input checked="" type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</div> b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).
4. This report contains indications relating to the following items: <div style="margin-left: 20px;"><input checked="" type="checkbox"/> Box No. I Basis of the report <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input type="checkbox"/> Box No. VIII Certain observations on the international application</div>

Date of submission of the demand 13 January 2004 (13.01.2004)	Date of completion of this report 01 October 2004 (01.10.2004)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2003/009317

## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☐ The international application as originally filed/furnished

☒ the description:

pages \_\_\_\_\_ 1-31 \_\_\_\_\_, as originally filed/furnished

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

☒ the claims:

pages \_\_\_\_\_ 1-7 \_\_\_\_\_, as originally filed/furnished

pages\* \_\_\_\_\_, as amended (together with any statement) under Article 19

pages\* \_\_\_\_\_ 8 \_\_\_\_\_ received by this Authority on 06 May 2004 (06.05.2004)

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

☐ the drawings:

pages \_\_\_\_\_, as originally filed/furnished

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages \_\_\_\_\_

☐ the claims, Nos. \_\_\_\_\_

☐ the drawings, sheets/figs \_\_\_\_\_

☐ the sequence listing (*specify*): \_\_\_\_\_

☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages \_\_\_\_\_

☐ the claims, Nos. \_\_\_\_\_

☐ the drawings, sheets/figs \_\_\_\_\_

☐ the sequence listing (*specify*): \_\_\_\_\_

☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP03/09317

**Box No. V** Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

## 1. Statement

Novelty (N)	Claims	1-8	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1-8	NO
Industrial applicability (IA)	Claims	1-8	YES
	Claims		NO

## 2. Citations and explanations (Rule 70.7)

Document 1: US, 5693611, A

Document 2: US, 6169184, B1

Document 3: Tetrahedron, 20 May, 2002 (20.05.02), Vol. 58, No. 22, pages 4429-4438

Document 4: JP, 2000-80082, A

Document 1 is a document also cited in the specification of the present international application, and describes a process for producing a 5-aryl-2-alkoxypyridine derivative comprising the step of subjecting a 5-(boric acid)-2-alkoxypyridine and a halogenated pyridine compound to a coupling reaction using a palladium catalyst.

Documents 2 and 3 respectively describe an aryl cross-coupling reaction in which 2-benzenesulfonylpyridine or any of its derivatives is made to react with an organic magnesium compound or organic zinc compound. Furthermore, document 3 describes that if no catalyst is used, a cross-coupling reaction takes place selectively.

Moreover, document 4 describes a process for producing a 2-substituted pyridine derivative by letting a 2-arylsulfonylpyridine and an arylating agent react with each other, and also describes that a lithium compound can be used as the arylating agent.

None of the above-mentioned documents describes an aryl cross-coupling reaction in which (1) a 2-benzenesulfonylpyridine derivative and (2) an organic metal reagent consisting of (a) a metal selected from group 1, group 2, group 12 and group 13 metals and (b) a heterocyclic compound react with each other, though the production process described in claims 1-8 includes the reaction. So, the production process described in claims 1-8 appears to be novel, since it conforms to PCT Article 33 (2).

However, since documents 2-4 respectively describe a method for introducing an aryl substituent group into the 2-position of a pyridine ring without using a transition metal catalyst, a person skilled in the art could have easily employed the process in which 2-benzenesulfonylpyridine or any of its derivatives is made to react with an organic magnesium compound, organic zinc compound or organic lithium compound, as the method for introducing a heteroaryl substituent group into the 2-position of a pyridine ring based on the descriptions of these documents. Therefore, the production process described in claims 1-8 does not appear to involve an inventive step, since it does not conform to PCT Article 33 (3).